

PENICILLINS AND CEPHALOSPORINS AND PROCESS FOR PRODUCING THE SAME

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1508062 Penicillin and cephalosporin derivatives TOYAMA CHEMICAL CO Ltd 28 April 1975 [9 May 1974 13 May 1974 31 May 1974 24 July 1974 7 Aug 1974 13 Aug 1974 26 Sept 1974 12 Oct 1974 28 Oct 1974 6 Dec 1974 13 Dec 1974 17 Feb 1975 26 March 1975 27 March 1975] 17557/75 Heading C2C Novel compounds I (R is an amino acid residue; R<SP>1</SP> is H, an ester forming group, a cation or a silicon-, phos- phorus-, or tin-containing group; n is 1 or 2; n X's which may be the same as or different from each other represent individually O or S and are linked in any combination at the 2-, 3- and 5-positions of the piperazine ring; m is 4-n; each pair of R<SP>2</SP> and R<SP>3</SP> is linked to the same carbon atom and m pairs of R<SP>2</SP> and R<SP>3</SP> represent individually H, halo, COOH, or an unsubstituted or substituted alkyl, cycloalkyl, aryl, acyl, aralkyl, alkoxycarbonyl-alkyl, acyl- oxyalkyl, alkoxy, alkoxycarbonyl, cycloalkoxy- carbonyl, aralkoxycarbonyl, aryloxy, carbamoyl, amino or carbamoyl; or R<SP>2</SP> and R<SP>3</SP> together with the attached carbon atom form a cyclo- alkane ring; A is H, OH, NO 2, CN or an option- ally substituted alkyl, alkenyl, alkynyl, alka- dienyl, cycloalkyl, cycloalkenyl, cycloalka- dienyl, aryl, acyl, aralkyl, acyloxyalkyl, alkoxy, cycloalkoxy, aryloxy, alkoxycarbonyl, cyclo- alkoxycarbonyl, aryloxy, carbamoyl, aralkoxy- carbonyl, alkylsulphonyl, cycloalkylsulphuryl, arylsulphonyl, carbamoyl, thiocarbamoyl, acyl- carbamoyl, acylthiocarbamoyl, alkylsulphonyl- carbamoyl, arylsulphonylcarbamoyl, alkylsul- phonylthiocarbamoyl, arylsulphonylthiocarba- moyl, sulphamoyl, alkoxycarbonylthioalkyl, alkoxythiocarbonylthioalkyl, amino or hetero- cyclyl; Y is O or S; -Z- is -C(CH 3) 2 - or -CH 2 C(CH 2 R<SP>4</SP>)= and R<SP>4</SP> is H, OH, CN, N 3, quaternary ammonium or an optionally sub- stituted alkoxy, aryloxy, aralkoxy, acyloxy, carbamoyloxy, guanidino, amino, alkylthio, arylthio, aralkylthio, acylthio, thiocarbamoyl- thio, alkoxythiocarbonylthio, aryloxythio- carbonylthio, cycloalkoxythiocarbonylthio, ami- dinothio or heterocyclyl-thio) are prepared by conventional acylation of a compound II or IV followed where necessary by conventional chemical modification of the side chain in the cephalosporin nucleus. Pharmaceutical compositions useful as anti- bacterial agents comprise a compound I together with a suitable diluent and/or carrier.

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